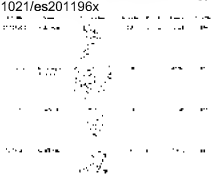
1. Identifying New Persistent and Bioaccumulative Organics Among Chemicals in Commerce II: Pharmaceuticals

By Howard, Philip H.; Muir, Derek C. G.
From Environmental Science & Technology (2011), 45(16), 6938-6946. Language: English. Database: CAPLUS, DOI:10.1021/es201196x



The goal was to identify com. pharmaceuticals that might be persistent and bioaccumulative (P&B) and that were not being considered in current wastewater and aquatic environmental measurement programs. We developed a database of 3193 pharmaceuticals from 2 US Food and Drug Administration (FDA) databases and some lists of top ranked or selling drugs. Of the 3193 pharmaceuticals, 275 pharmaceuticals have been found in the environment and 399 pharmaceuticals were, based on prodn. vols., designated as high prodn. vol. (HPV) pharmaceuticals. All pharmaceuticals that had reported chem. structures were evaluated for potential bioaccumulation (B) or persistence (P) using quant. structure property relationships (QSPR) or scientific judgment. Of the 275 drugs detected in the environment, 92 were rated as potentially bioaccumulative, 121 were rated as potentially persistent, and 99 were HPV pharmaceuticals. After removing the 275 pharmaceuticals previously detected in the environment, 58 HPV compds. were identified that were both P&B and 48 were identified as P only. Of the non-HPV compds., 364 pharmaceuticals were identified that were P&B. This study has yielded some interesting and probable P&B pharmaceuticals that should be considered for further study.

~1 Citing

2. Surface topographies for non-toxic bioadhesion control

By Brennan, Anthony B.; Long, Christopher James; Bagan, Joseph W.; Schumacher, James Frederick; Spiecker, Mark M.
From U.S. Pat. Appl. Publ. (2010), US 20100226943 A1 20100909, Language: English. Database: CAPLUS

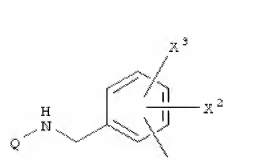
The invention relates to articles and related devices and systems having surface topog. and/or surface elastic properties for providing non-toxic bioadhesion control. An article includes a first plurality of spaced features arranged in a plurality of groupings including repeat units. The spaced features within a grouping are spaced apart at an av. distance of about 1 nm to about 500 μm, each feature having a surface that is substantially parallel to a surface on a neighboring feature sepd. from its neighboring feature. The groupings of features are arranged with respect to one another so as to define a tortuous pathway. The plurality of spaced features provide the article with an engineered roughness index of about 5 to about 20.

~1 Citing

3. Preparation of fluoroalkoxybenzylamino derivatives of nitrogen containing heterocycles as substance P receptor antagonists

By Chappell, Phillip Branch; O'Neill, Brian Thomas; Saltarelli, Mario David
From U.S. Pat. Appl. Publ. (2008), US 20080132538 A1 20080605, Language: English. Database: CAPLUS

The present invention relates to methods of treating overactive bladder disorders characterized by involuntary neurogenic detrusor contractions assocd. with the pathol. of multiple sclerosis by administering fluoroalkoxybenzylamino derivs. of nitrogen contg. heterocyclic compds., and specifically, by administering compds. of the formula [I; X1 = H, C1-10 alkoxy or alkyl optionally substituted with from one to three fluorine atoms; X2, X3 = halo, H, NO2, C1-10 alkyl or alkoxy optionally substituted with from one to three fluorine atoms, CF3, hydroxy, Ph, cyano, amino, C1-6 alkylamino, di(C1-6 alkyl)amino, -CONH-C1-6alkyl, C1-6 alkyl-CONH-C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, NHCHO, NHCO-C1-C6 alkyl; Q = N-contg. heterocyclyl, e.g. Q1, Q2, Q3, Q4; R1= furyl, thienyl, pyridyl, indolyl, biphenyl, (un)substituted phenyl; R13 = C3-4 branched alkyl, C5-6 branched alkenyl, C5-7 cycloalkyl, groups defined in R1; R2 = H, C1-6 alkyl; R3 = each (un)substituted Ph, biphenyl, naphthyl, pyridyl, benzhydryl, thienyl, or furyl; Y = (CH2)l (wherein l = an integer from 1 to 3), or cyclohexane-1,2-diyl; Z = O, S, NH, C1-C3 alkyl-NH, (CH2)n (wherein n =0, 1,2); m = 2,3; R4 = furyl, thienyl, pyridyl, indolyl, biphenyl, (un)substituted phenyl; R5 = thienyl, biphenyl, (un)substituted phenyl] in a mammal. I are substance P receptor antagonists (no data). Thus, reductive alkylation of 2-diphenylmethyl-1-azabicyclo[2.2.2]octan-3-amine by 2-(difluoromethoxy)benzaldehyde using sodium cyanoborohydride in MeOH at room temp. for 30 h gave 2-(diphenylmethyl)-N-[(2-difluoromethoxy)phenyl]methyl-1-azabicyclo[2.2.2]octan-3 -amine.



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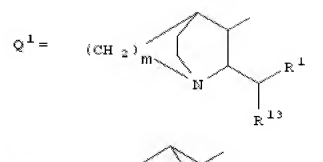
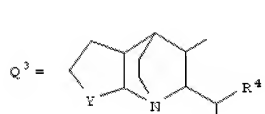
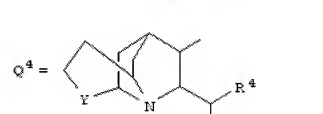






~1 Citing

4. Characterization of metabolites of a NK1 receptor antagonist, CJ-11,972, in human liver microsomes and recombinant human CYP isoforms by liquid chromatography/tandem mass spectrometry

By Prakash, Chandra; Lin, Jinyan; Colizza, Kevin; Miao, Zhuang
From Rapid Communications in Mass Spectrometry (2007), 21(17), 2822-2832. Language: English. Database: CAPLUS, DOI:10.1002/rcm.3153

The in vitro metab. of CJ-11,972, (2-benzhydryl-1-aza-bicyclo[2.2.2]oct-3-yl)-(5-tert-butyl-2-methoxybenzyl)amine, an NK1 receptor antagonist, was studied in human liver microsomes and recombinant human CYP isoforms. Liq. chromatog./mass spectrometry (LC/MS) and tandem mass spectrometry (LC/MS/MS) coupled to radioactive detection were used to detect and identify the metabolites. CJ-11,972 was extensively metabolized in human liver microsomes and recombinant human CYP3A4/3A5 isoforms. A total of fourteen metabolites were identified by a combination of various MS techniques. The major metabolic pathways were due to oxidn. of the tert-Bu moiety to form an alc. (M6) and/or O-demethylation of the anisole moiety. The alc. metabolite M6 was further oxidized to the corresponding aldehyde (M7) and carboxylic acid (M4). Two unusual metabolites (M13, M17), formed by C-demethylation of the tert-Bu group, were identified as 2-{3-[(2-benzhydryl-1-aza-bicyclo[2.2.2]oct-3-ylamino)methyl]-4-methoxyphenyl}propan-2-ol and (2-benzhydryl-1-aza-bicyclo[2.2.2]oct-3-yl)-(5-isopropenyl-2-methoxybenzyl)amine. A plausible mechanism for C-demethylation may involve oxidn. of M6 to form an aldehyde metabolite (M7), followed by cytochrome P 450-mediated deformylation leaving an unstable carbon-centered radical, which would quickly form either the alc. metabolite M13 and the olefin metabolite M17.

~3 Citings

5. Method of treating glaucoma

By Voet, Martin A.
From PCT Int. Appl. (2007), WO 2007030307 A2 20070315. Language: English. Database: CAPLUS

The invention provides method for the treatment and prevention of glaucoma in a person at risk of developing glaucoma, by applying to the eye of said person, an effective amt. of an antibacterial agent having activity against the Helicobacter Pylori bacteria to thereby eradicate, inhibit and/or control said bacteria.

~1 Citing

6. Pharmaceutical compositions of neurokinin receptor antagonists and cyclodextrin

By Boettner, Wayne Alan; Miskell, Christine Edna
From PCT Int. Appl. (2005), WO 2005082419 A1 20050909, Language: English, Database: CAPLUS

This invention relates to pharmaceutical compns. for improving anesthesia recovery and preventing nausea and emesis and a method for improved injection site tolerance. In particular, the invention is directed to pharmaceutical compns. with an improved injection site toleration comprising an effective amt. of a neurokinin receptor (NK1) antagonist, e.g., piperazine compds., spiro-substituted azacycles, and polycyclic amine compds., with a cyclodextrin. The invention is also directed to pharmaceutical compns. A 10 mg/mL soln. of a neurokinin receptor antagonist was prepd. by dissolving 0.51 g of the citrate of the drug in 34.51 g of a 1% calcium chloride soln., providing approx. 35 mL of soln. with a pH of 3.45..

~0 Citings

7. Liquid dosage forms comprising antimicrobial preservatives and β-cyclodextrins

By Adami, Roger Christopher; David, Frederick; Wood, Julia Ann
From PCT Int. Appl. (2005), WO 2005082416 A2 20050909, Language: English, Database: CAPLUS

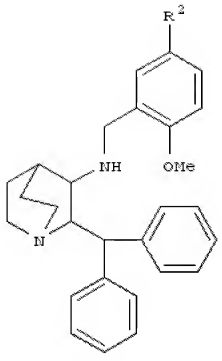
The present invention is directed to pharmaceutical compns. contg. a therapeutically effective amt. of an Active Pharmaceutical Ingredient (API), a cyclodextrin and a preservative. The invention is also directed to pharmaceutical compns. contg. a NK1 antagonist (API) and a cyclodextrin and the preservative. Thus, a formulation contg. m-cresol and the API was very stable.

~0 Citings

8. NK-1 receptor antagonists for anesthesia recovery

By Hickman, Mary Anne; Miskell, Christine Edna
From PCT Int. Appl. (2005), WO 2005082366 A1 20050909, Language: English, Database: CAPLUS

The invention is directed to the administration of I (R2 = Me, Et, iso-Pr, sec-Bu, tert-Bu) and II, to an animal to improve anesthesia recovery.



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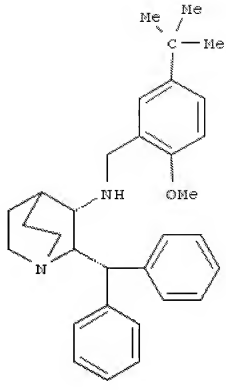


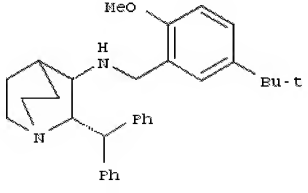
II

~0 Citings

9. Process for preparation of 1-(2S,3S)-2-benzhydryl-N-(5-tert-butyl-2-methoxybenzyl)quinuclidin-3-amine

By Basford, Patricia Ann; Post, Ronald James; Smith, Julian Duncan; Taber, Geraldine Patricia
From PCT Int. Appl. (2005), WO 2005075473 A1 20050818, Language: English, Database: CAPLUS

This invention relates to an improved process for the prepn. and purifn. of (2S,3S)-2-benzhydryl-N-(5-tert-butyl-2-methoxybenzyl)quinuclidin-3-amine (I), which is useful as an antiemetic agent (no biol. testing data), and its pharmaceutically acceptable salts. In particular, the invention is directed to an improved synthesis of the monohydrate monocitrate salt of I.



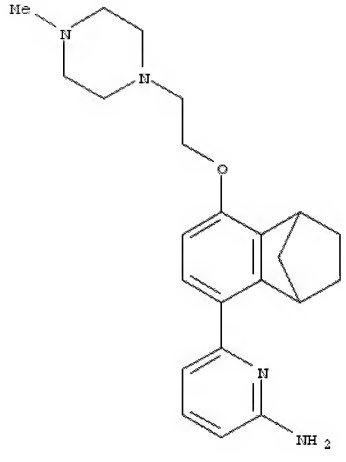
I

~1 Citing

10. New pharmaceutical combinations of nitric oxide synthase inhibitors and NK-1 receptor antagonists and selective serotonin reuptake inhibitors for treatment of disorders facilitated by altering circadian rhythms

By Saltarelli, Mario David; Lowe, John Adams
From U.S. Pat. Appl. Publ. (2004), US 20040229911 A1 20041118, Language: English, Database: CAPLUS

The present invention relates to new pharmaceutical uses for compds. that exhibit activity as nitric oxide synthase (NOS) inhibitors. Specifically, it relates to the use of NOS inhibitors, particularly selective neuronal NOS (nNOS) inhibitors, alone or in combination with another active agent, in particular, either an SSRI (selective serotonin reuptake inhibitor) or an NK-1 receptor antagonist, for the treatment of disorders or conditions the treatment which can be effected or facilitated by altering circadian rhythms. Examples of such disorders and conditions are blindness, obesity, seasonal affective disorder, bipolar disorder; jet lag, circadian sleep rhythms disorder, sleep deprivation, parasomnias, REM sleep disorders, hypersomnia, sleep-wake cycle disorders, narcolepsy and sleep disorders assocd. with shift work or irregular work schedules; nocturnal enuresis, and restless-legs syndrome.



~0 Citings

11. Use of NK-1 receptor antagonists to modify unwanted anxiety behavior in dogs, cats and horses

By Bronk, Brian Scott; Hickman, Mary Anne; Kilroy, Carolyn Rose
From PCT Int. Appl. (2003), WO 2003009848 A1 20030206, Language: English, Database: CAPLUS

The invention discloses a method for treating abnormal anxiety behavior in companion animals comprising administering to a companion animal in need thereof a therapeutically effective amt. of an NK-1 receptor antagonist.

~3 Citings

12. Pharmaceutical composition and method of modulating cholinergic function in a mammal

By Coe, Jotham W.; Sands, Steven B.
From U.S. Pat. Appl. Publ. (2003), US 20030008892 A1 20030109, Language: English, Database: CAPLUS

A compn. for modulating cholinergic function in a mammal comprises a nicotinic receptor partial agonist (NRPA) in combination with an anti-emetic/anti-nausea agent and a pharmaceutically acceptable carrier. The NRPA compd. and the anti-emetic/anti-nausea agent are present in amts. that render the compn. effective modulating cholinergic function or in the treatment of various disorders or conditions selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amyotrophic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrhythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supranuclear palsy, chem. dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alc., benzodiazepines, barbiturates, opioids or cocaine), headache, migraine, stroke, traumatic brain injury (TBI), obsessive-compulsive disorder (OCD), psychosis, Huntington's chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age-related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome. The method of using these compns. is also disclosed.

~0 Citings

13. Combination, for treating depression and anxiety, containing a 5HT1D receptor antagonist and a CNS penetrant NK-1 receptor antagonist

By Schmidt, Christopher Joseph; Sobolov-Jaynes, Susan Beth
From Eur. Pat. Appl. (2002), EP 1186318 A2 20020313, Language: English, Database: CAPLUS

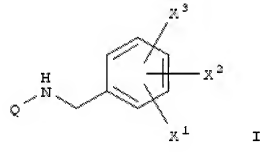
The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a CNS-penetrant NK-1 receptor antagonist (e.g., a substance P receptor antagonist) in combination with a 5HT1D receptor antagonist. It also relates to pharmaceutical compns. contg. a pharmaceutically acceptable carrier, a CNS-penetrant NK-1 receptor antagonist and a 5HT1D receptor antagonist.

~3 Citings

14. Preparation of fluoroalkoxybenzylamino derivatives of nitrogen containing heterocycles as substance P receptor antagonists

By Chappel, Phillip Branch; O'neill, Brian Thomas; Saltarelli, Mario David
From Eur. Pat. Appl. (2002), EP 1172106 A2 20020116, Language: English, Database: CAPLUS

The present invention relates to methods of treating various central nervous system (CNS) and other disorders or conditions by administering fluoroalkoxybenzylamino derivs. of nitrogen contg. heterocyclic compds., and specifically, by administering compds. of the formula [I; X1 = H, C1-10 alkoxy or alkyl optionally substituted with from one to three fluorine atoms; X2, X3 = halo, H, NO2, C1-10 alkyl or alkoxy optionally substituted with from one to three fluorine atoms, CF3, hydroxy, Ph, cyano, amino, C1-6 alkylamino, di(C1-6 alkyl)amino, -CONH-C1-6alkyl, C1-6 alkyl-CONH-C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, NHCHO, NHCO-C1-C6 alkyl; Q = N-contg. heterocyclyl, e.g. Q1, Q2, Q3, Q4; R1= furyl, thienyl, pyridyl, indolyl, biphenyl, (un)substituted phenyl; R13 = C3-4 branched alkyl, C5-6 branched alkenyl, C5-7 cycloalkyl, groups defined in R1; R2 = H, C1-6 alkyl; R3 = each (un)substituted Ph, biphenyl, naphthyl, pyridyl, benzhydryl, thienyl, or furyl; Y = (CH2)l (wherein l = an integer from 1 to 3), or cyclohexane-1,2-diyl; Z = O, S, NH, C1-C3 alkyl-NH, (CH2)n (wherein n =0, 1,2); m = 2,3; R4 = furyl, thienyl, pyridyl, indolyl, biphenyl, (un)substituted phenyl; R5 = thienyl, biphenyl, (un)substituted phenyl] in a mammal. These compds. I are substance P receptor antagonists (no data). The above CNS and other disorders or conditions include sleep disorders, autism, pervasive development disorder, rheumatoid arthritis, osteoarthritis, fibromyalgia, human immunodeficiency virus (HIV) infections, dissociative disorders such as body dysmorphic disorders, eating disorder such as anorexia and bulimia, ulcerative colitis, Crohn's disease, irritable bowel syndrome, functional abdominal pain, chronic fatigue syndrome, sudden infant death syndrome (SIDS), overactive bladder, chronic cystitis, chemotherapy induced cystitis, cough, angiotensin converting enzyme (ACE) induced cough, itch, hiccups, premenstrual syndrome, premenstrual dysphoric disorder, schizophrenia, schizoaffective disorder, delusional disorder, substance-induced psychotic disorder, brief psychotic disorder, shared psychotic disorder, psychotic disorder due to a general medical condition, schizophreniform disorder, and amenorrheic disorders such as dysmenorrhea. They also include obesity, epilepsy, movement disorders such as primary movement disorders, spasticities, Scott's syndrome, Tourette's syndrome, palsys, amyolateral sclerosis (ALS), akinetic-rigid disorders, akinesias, dyskinesias, restless leg syndrome and movement disorders assocd. with Parkinson's disease or Huntington's disease, mastalgia syndromes, motion sickness, immune dysfunctions, generalized anxiety disorder, panic disorder, phobias including social phobia, agoraphobia, and specific phobias, obsessive-compulsive disorder, posttraumatic stress disorder; depression including major depression, single episode depression, recurrent depression, child abuse induced depression, postpartum depression and dysthymia, cyclothymia, bipolar disorder, neurocardiac disorders such as neurocardiac syncope, neurogenic syncope, hypersensitive Carotid sinus, neurovascular syndrome and arrhythmias including arrhythmias secondary to gastrointestinal disturbances, addiction disorders involving addictions to behaviors, HIV-1 assocd. dementia, AIDS dementia complex, HIV encephalopathy, HIV related neuralgias, AIDS related neuralgias, epilepsy, and attention deficit hyperactivity disorder in a mammal. Thus, reductive alkylation of 2-diphenylmethyl-1-azabicyclo[2.2.2]octan-3-amine by 2-(difluoromethoxy)benzaldehyde using sodium cyanoborohydride in MeOH at room temp. for 30 h gave 2-(Diphenylmethyl)-N-[(2-difluoromethoxy)phenyl]methyl-1-azabicyclo[2.2.2]octan-3-amine.



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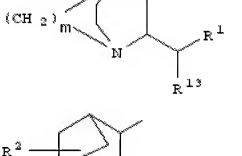

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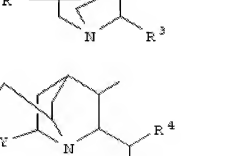

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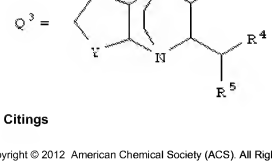

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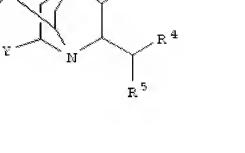


~4 Citings

15. A pharmaceutical composition containing a nicotine receptor agonist and an analgesic for treatment of acute, chronic pain and/or neuropathic pain and migraines

By Coe, Jotham Wadsworth; Harrigan, Edmund Patrick; O'Neill, Brian Thomas; Sands, Steven Bradley; Watsky, Eric Jacob
From PCT Int. Appl. (2001), WO 2001076576 A2 20011018, Language: English, Database: CAPLUS

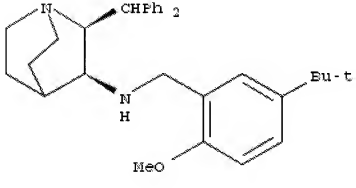
Oral, parenteral or transdermal compns. are disclosed for the treatment of acute, chronic and/or neuropathic pain. The pharmaceutical compns. are comprised of a therapeutically effective combination of a nicotine receptor partial agonist and an analgesic agent and a pharmaceutically acceptable carrier. The analgesic agent is selected from opioid analgesics, NMDA antagonists, substance P antagonists, COX 1 and COX 2 inhibitors, tricyclic antidepressants (TCA), selective serotonin reuptake inhibitors (SSRI), capsaicin receptor agonists, anesthetic agents, benzodiazepines, skeletal muscle relaxants, migraine therapeutic agents, anticonvulsants, antihypertensives, antiarrhythmics, antihistamines, steroids, caffeine, N-type calcium channel antagonists and botulinum toxin. The method of using these compds. and a method of treating acute, chronic and/or neuropathic pain and migraine in a mammal including a human is also disclosed.

~7 Citings

16. NK-1 receptor antagonists for the treatment of symptoms of irritable bowel syndrome

By Williams, Stephen A.
From U.S. Pat. Appl. Publ. (2001), US 20010006972 A1 20010705, Language: English, Database: CAPLUS

A method is provided for treating or preventing symptoms (e.g. abdominal pain) of irritable bowel syndrome in a mammal, including a human, using a compd. that is an NK-1 receptor antagonist, in particular a substance P receptor antagonist.



~0 Citings

17. Nitric oxide synthase (NOS) inhibitor combinations with other agents for treatment of disorders treatable by altering circadian rhythm

By Saltarelli, Mario David; Lowe, John Adams, III
From PCT Int. Appl. (2000), WO 2000071107 A2 20001130, Language: English, Database: CAPLUS

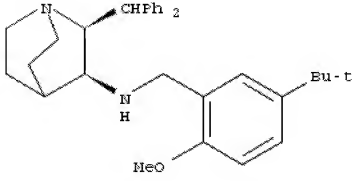
New pharmaceutical uses are provided for compds. that exhibit activity as NOS inhibitors. Specifically, the invention provides the use of NOS inhibitors, particularly selective neuronal NOS (nNOS) inhibitors, alone or in combination with another active agent, in particular, either a selective serotonin reuptake inhibitor (SSRI) or an NK-1 receptor antagonist, for the treatment of disorders or conditions the treatment which can be effected or facilitated by altering circadian rhythms. Examples of such disorders and conditions are blindness, obesity, seasonal affective disorder, bipolar disorder, jet lag, circadian sleep rhythms disorder, sleep deprivation, parasomnias, REM sleep disorders, hypersomnia, sleep-wake cycle disorders, narcolepsy and sleep disorders assocd. with shift work or irregular work schedules; nocturnal enuresis, and restless-legs syndrome.

~4 Citings

18. Use of NK-1 receptor antagonists for the manufacture of a medicament in the treatment of symptoms of irritable bowel syndrome

By Williams, Stephen Alaric
From Eur. Pat. Appl. (1998), EP 873753 A1 19981028, Language: English, Database: CAPLUS

The invention relates to the use of a NK-1 receptor antagonist, in particular a substance P receptor antagonist, for the manuf. of a medicament for the treatment of symptoms of irritable bowel syndrome. An example of such antagonist is (2S,3S)-2-diphenylmethyl-3-(5-tert-butyl-2-methoxybenzyl)amino-1-azabicyclo[2.2.2]octane.

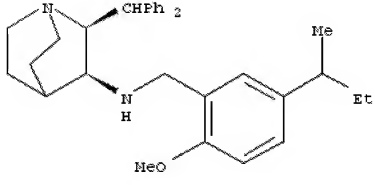


~2 Citings

19. NK-1 receptor antagonists for the treatment of cancer

By Howard, Harry R.
From Eur. Pat. Appl. (1997), EP 773026 A2 19970514. Language: English, Database: CAPLUS

NK-1 receptor antagonists (e.g. Substance P receptor antagonists) (Markush included) are used for the manuf. of a medicament for the treatment of cancer in a mammal, particularly for the treatment of small cell lung carcinoma, APUDoma, astrocytoma, neuroendocrine tumor, or extrapulmonary small cell carcinoma.

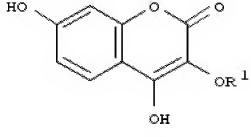


~3 Citings

20. Antiemetic composition containing an NK-1 receptor antagonist

By Gonsalves, Susan F.; Watson, John W.; Silberman, Sandra L.
From Eur. Pat. Appl. (1997), EP 769300 A2 19970423. Language: English, Database: CAPLUS

Methods are disclosed for treating or preventing emesis in mammals, including humans, using an NK-1 antagonist in combination with one or more other active agents selected from (a) a glucocorticoid or corticosteroid, (b) a benzodiazepine, (c) metaclopramide and (d) an intracellular mol. scavenger.



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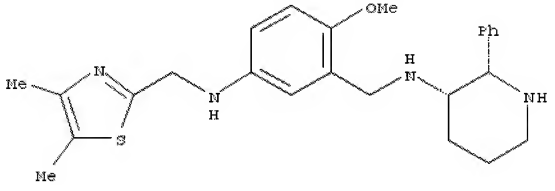
~0 Citings

21. NK-1 receptor antagonists for the treatment of neuronal injury and stroke

By Lowe, John A., III; Nelson, Robert B.
From Can. Pat. Appl. (1996), CA 2164804 A1 19960613, Language: English, Database: CAPLUS

Antagonists to NK-1 neurokinin receptors are useful for treating or preventing stroke, epilepsy, head trauma, spinal cord trauma, ischemic neuronal damage such as cerebral ischemic damage from stroke or vascular occlusion (e.g. during open heart surgery), excitotoxic neuronal damage (e.g. in stroke or epilepsy), and amyotrophic lateral sclerosis in mammals, including humans. The antagonists include certain quinuclidine, piperidine, pyrrolidine, azanorbornane, and ethylenediamine derivs. and related compds. that are substance P receptor antagonists (no data).

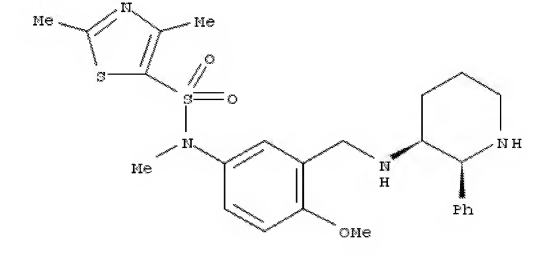


~0 Citings

22. NK-1 receptor antagonists for the treatment of neuronal injury and stroke

By Lowe, John A., III; Nelson, Robert B.
From Eur. Pat. Appl. (1996), EP 721778 A2 19960717, Language: English, Database: CAPLUS

A method is provided for treating or preventing stroke, epilepsy, head trauma, spinal cord trauma, ischemic neuronal damage, such as cerebral ischemic damage from stroke or vascular occlusion (e.g., during open heart surgery), excitotoxic neuronal damage (e.g., in stroke or epilepsy) and amyotrophic lateral sclerosis in mammals, including humans, using an NK-1 antagonist. Also provided is a method of treating or preventing such disorders in mammals, including humans, using certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorbornane derivs., ethylene diamine derivs. and related compds. that are substance P receptor antagonists.



~6 Citings

23. NK-1 receptor antagonists and 5-HT3 receptor antagonists for the treatment of emesis

By Gonsalves, Susan F.
From Eur. Pat. Appl. (1996), EP 715855 A2 19960612, Language: English, Database: CAPLUS

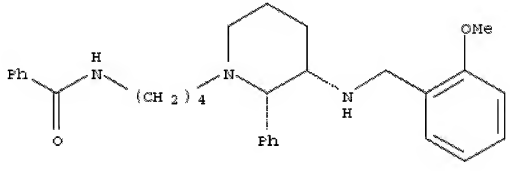
A method is provided for treating or preventing emesis in a mammal, including a human, by administering a 5-HT3 receptor antagonist and an NK-1 receptor antagonist (e.g., a substance P receptor antagonist). Also provided are pharmaceutical compns. contg. a pharmaceutically acceptable carrier, a 5-HT3 receptor antagonist and an NK-1 receptor antagonist. The 5-HT3 antagonist is e.g. ondansetron, tropisetron, or granisetron. More than one hundred NK-1 antagonists are claimed. The antiemetic activity of NK-1 antagonist (2S,3S)-3-methoxybenzylamino-2-phenylpiperidine, alone and in combination with ondansetron, was detd.

~6 Citings

24. NK-1 receptor antagonists for the treatment of eye disorders

By Hess, Hans-Juergen Ernst
From PCT Int. Appl. (1996), WO 9614845 A1 19960523, Language: English, Database: CAPLUS

A method is disclosed for treating or preventing a disorder of the eye, selected from glaucoma, ocular hypertension, miosis, excess lacrimation, hyperemia, and breakdown of the blood aq. barrier in mammals, including humans, using an NK-1 antagonist. Also disclosed is a method of treating or preventing such disorders in mammals, including humans, using certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorbornane derivs., and ethylene diamine-derived and related compds. that are substance P receptor antagonists.

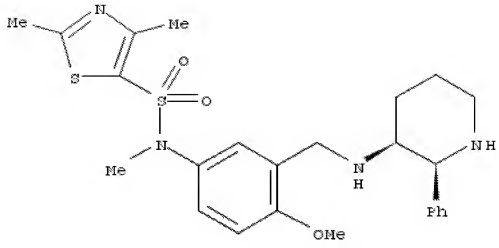


~3 Citings

25. Pharmaceutical agents for the inhibition of angiogenesis

By Lowe, John A. Iii
From Can. Pat. Appl. (1995), CA 2136295 A1 19950524, Language: English, Database: CAPLUS

The present invention relates to medicine for (a) inhibiting angiogenesis in mammals or (b) treating or preventing a disease or condition that is caused or mediated by angiogenesis or of which angiogenesis is a symptom in a mammal, using compds. that are substance P receptor antagonists and, specifically, certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorbornane derivs., ethylenediamine derivs. and related compds.

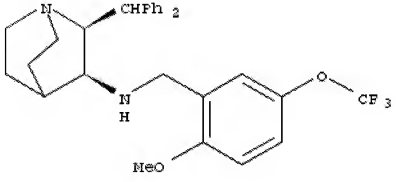


~2 Citings

26. Pharmaceuticals for treatment or prevention of sunburn.

By Hess, Hans-Jurgen Ernst; Nagahisa, Atsushi
From Eur. Pat. Appl. (1995), EP 653206 A2 19950517, Language: English, Database: CAPLUS

The present invention relates to the use of certain quinuclidine, piperidine, azanorbornane derivs. and related compds., for the manuf. of a drug for the treatment or prevention of sunburn. The antisunburn activity of compds. that are substance P receptor antagonists was demonstrated in guinea pigs.

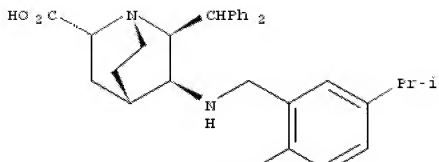


~1 Citing

27. Substance P antagonists for treatment of disorders caused by Helicobacter pylori or other spiral urease-positive gram-negative bacteria

By Clancy, Joanna
From Eur. Pat. Appl. (1995), EP 655246 A1 19950531, Language: English, Database: CAPLUS

Disorders caused by spiral urease-pos. gram-neg. bacteria such as H. pylori in mammals, including humans, are treated or prevented with substance P receptor antagonists, e.g. quinuclidines, piperidines, pyrrolidines, azanorbornanes, ethylenediamine derivs., etc. (Markush structures given) (no data).

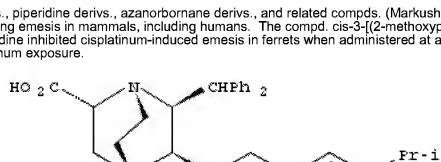


~3 Citings

28. Substance P antagonists for the treatment of emesis

By Desai, Manoj C.; Lowe, John A., III; Watson, John W.
From Eur. Pat. Appl. (1994), EP 627221 A2 19941207, Language: English, Database: CAPLUS

Quinuclidine derivs., piperidine derivs., azanorbornane derivs., and related compds. (Markush included) are disclosed for treating or preventing emesis in mammals, including humans. The compd. cis-3-[(2-methoxyphenyl)methylamino]-2-benzhydrylquinuclidine inhibited cisplatinum-induced emesis in ferrets when administered at a dose of 10 mg/kg s.c., 30 min before cisplatinum exposure.



~7 Citings

29. Pharmaceutical agents for treatment of urinary incontinence

By Desai, Manoj C.; Lowe, Iii John A.; Rosen, Terry J.
From Eur. Pat. Appl. (1994), EP 610021 A1 19940810, Language: English, Database: CAPLUS

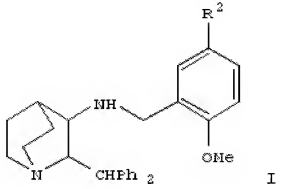
Urinary incontinence is prevented or treated in mammals, including humans, by administration of certain quinuclidine derivs., piperidine derivs., azanorbornane derivs., ethylenediamine derivs., and related compds. which act as substance P receptor antagonists (no data). The preferred dosage range is 0.07-21 mg/kg orally or parenterally.

~7 Citings

30. Preparation of 2-diphenylmethyl-3-benzylaminoquinuclidines as substance P antagonists

By Ito, Fumitaka; Kondo, Hiroshi; Shimada, Kaoru; Nakane, Masami; Lowe, John Adams, III; Rosen, Terry Jay; Yang, Bingwei Vera
From PCT Int. Appl. (1992), WO 9221677 A1 19921210, Language: English, Database: CAPLUS

Title compds. (I; R2 = Me2CH, Me3C, Me, Et, sec-Bu), were prepd. as substance P antagonists useful against a variety of diseases (no data). Thus, (2S, 3S)-2-diphenylmethyl-1-azabicyclo[2.2.2]-octane-3-amine (prepn. given) was stirred with 5-isopropyl-2-methoxybenzaldehyde and Na triacetoxyborohydride in CH2Cl2 to give 2S,3S-I (R2 = Me2CH).



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~16 Citings